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search moter 4/13/05
    ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
L5
    2004:1127329 CAPLUS Full-text
AN
    142:74612
DN
     Preparation of piperazinyl-aryloxy and piperazinyl-heteroaryloxy-N-aryl
ΤI
     lactams as 5-HT1B ligands
    Lowe, John Adams, III; Sanner, Mark Allen
IN
     Pfizer Products Inc., USA
PA
SO
     PCT Int. Appl., 32 pp.
    CODEN: PIXXD2
DT
     Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                        KIND
                               DATE
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ΡI
                               20041223 WO 2004-IB1942
    WO 2004110994
                        A1
                                                               20040607
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
                       A1 20041230 US 2004-868055
P 20030618
    US 2004266781
                                                                 20040615
PRAI US 2003-479436P
os
    MARPAT 142:74612
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
     Title compds. I [Ar = Ph, naphthyl, heteroaryl, etc.; Y = H, halo, OH, NO2,
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- AB Title compds. I [Ar = Ph, naphthyl, heteroaryl, etc.; Y = H, halo, OH, NO2, CN, etc.; G = alkyl, benzyl, etc.; p = 1-4; Z, W = C, N; n = 1-3] are prepared For instance, 3-[2-(4-Methylpiperazin-1-yl)phenoxy]-1-(4-(trifluoromethyl)phenyl)pyrrolidin-2-one hydrochloride is prepared in 3 steps from 1-(4-trifluoromethylphenyl)pyrrolidin-2-one and 1-(2-hydroxyphenyl)-4-Bocpiperazine. All compds. of the invention show Ki < 100 nM for the 5-HT1B receptor. I are useful for the treatment of anxiety, depression, dysthymia, major depressive disorder, migraine, post-traumatic stress disorder, avoidant personality disorder, borderline personality disorder and phobias.
- Personality disorder, borderline personality disorder and phobias.

 811828-36-1P, 3-[2-(4-Methylpiperazin-1-yl)phenoxy]-1-(4-bromophenyl)piperidin-2-one 811828-38-3P, 3-[2-(4-Methylpiperazin-1-yl)phenoxy]-1-[4-(2-oxopyrrolidin-1-yl)phenyl]piperidin-2-one 811828-40-7P, 3-[2-(4-Methylpiperazin-1-yl)phenoxy]-1-[4-(2-oxooxazolidin-3-yl)phenyl]piperidin-2-one 811828-42-9P, 3-[2-(4-Methylpiperazin-1-yl)phenoxy]-1-(4-trifluoromethylphenyl)piperidin-2-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperazinyl-aryloxy and piperazinyl-heteroaryloxy-N-aryl lactams as 5-HT1B ligands)
- RN 811828-36-1 CAPLUS
- CN 2-Piperidinone, 1-(4-bromophenyl)-3-[2-(4-methyl-1-piperazinyl)phenoxy](9CI) (CA INDEX NAME)

RN 811828-38-3 CAPLUS

CN 2-Piperidinone, 3-[2-(4-methyl-1-piperazinyl)phenoxy]-1-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 811828-40-7 CAPLUS

CN 2-Piperidinone, 3-[2-(4-methyl-1-piperazinyl)phenoxy]-1-[4-(2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 811828-42-9 CAPLUS

CN 2-Piperidinone, 3-[2-(4-methyl-1-piperazinyl)phenoxy]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2004:220313 CAPLUS Full-text

DN 140:270743

TI Preparation of heterocyclic amides, in particular azolanes and pyridines as Phosphodiesterase IV (PDE4) inhibitors for the treatment of inflammatory and allergic disorders

IN Thomas, Abraham; Bhavar, Prashant Kashinath; Lingam, V. S. Prasada Rao; Joshi, Neelima Kairatkar

PA Glenmark Pharmaceuticals Limited, India

SO PCT Int. Appl., 142 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| ran. | PATENT NO. | | | | | KIND DATE | | APPLICATION NO. | | | | | | | DATE | | |
|------|------------|------|------|-----|-----|-----------|------|-----------------|-----|------|------|------|-----|-----|------|------|-----|
| PI | WO 2004 | 0225 | 36 | | A1 | | 2004 | 0318 | 1 | WO 2 | 003- | IB37 | 21 | | 2 | 0030 | 903 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | TJ, | TM, | TN, |
| | | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | ТJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| PRAI | IN 2002 | -MU8 | 04 | | Α | | 2002 | 0904 | | | | | | | | | |
| os | MARPAT | 140: | 2707 | 43 | | | | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | |

I

$$R^{1}$$

The present invention relates to novel heterocyclic compds. that inhibit phosphodiesterase type 4 (PDE 4). The compds. are useful for treating inflammatory conditions, diseases of the central nervous systems and insulin resistant diabetes. Title compds. I [wherein R1 = independently H, (un)substituted alk(en/yn)yl, cyclo/cycloalkyl/aryl/heterocyclyl/hetero aryl/alkyl, cycloalkenyl, aryl, heterocyclyl, etc.; P = a bond, O, S, NR1; P1 = H, halo, OR1, S(:O)R1, C(:O)R1, NO2, etc.; R2 = H, halo, (un)substituted cyclo/alkyl, CN, CH:CH2 and derivs., OH and derivs., CO2H and derivs., etc.; A = (un)substituted aryl, saturated or unsatd. 5-7 membered heterocycle; and their analogs, tautomers, regioisomers, diastereoisomers, stereoisomers, geometrical isomers, N-oxides, polymorphs, and their pharmaceutical acceptable salts and pharmaceutical acceptable solvates) were prepared as phosphodiesterase type 4 (PDE4) inhibitors for treating inflammatory and allergic disorders (no data). For example, II was prepd via acylation of

(3S)-3-Aminoazolane-2,5-dione (preparation given) with 3-Cyclopentyloxy-4-methoxybenzoyl chloride (preparation given), and alkylation of azolane intermediate with cyclopropylmethyl bromide in the presence of CsOH. I were found excellent PDE4 inhibitors in an in vitro study against human PDE4 enzyme (no data). I and their formulations are useful for the treatment of inflammatory allergic diseases, in particular bronchial asthma, allergic rhinitis and nephritis, as well as of diseases of the central nervous system and insulin resistant diabetes (no data).

IT 672883-86-2P, (3S)-3-[(3-Cyclopentyloxy-4-

methoxyphenylcarbonyl)amino]-2-oxo-1-phenylhexahydropyridine 672883-88-4P 672883-90-8P 672883-91-9P,

(3S)-3-[(3,4-Di(difluoromethoxy)phenylcarbonyl)amino]-2-oxo-1-phenylhexahydropyridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Phosphodiesterase IV inhibitor; preparation of heterocyclic amides, in particular azolanes and pyridines, as Phosphodiesterase IV (PDE4) inhibitors for treatment of inflammatory and allergic disorders)

RN 672883-86-2 CAPLUS

CN Benzamide, 3-(cyclopentyloxy)-4-methoxy-N-[(3S)-2-oxo-1-phenyl-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672883-88-4 CAPLUS

CN Benzamide, 3-(cyclopentyloxy)-4-(difluoromethoxy)-N-[(3S)-2-oxo-1-phenyl-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672883-90-8 CAPLUS

CN Benzamide, 3-(cyclopropylmethoxy)-4-(difluoromethoxy)-N-[(3S)-2-oxo-1-phenyl-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672883-91-9 CAPLUS

CN Benzamide, 3,4-bis(difluoromethoxy)-N-[(3S)-2-oxo-1-phenyl-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2004:20333 CAPLUS Full-text

DN 140:93926

TI Preparation of sulfonylaminovalerolactams as factor Xa inhibitors

IN Smallheer, Joanne M.; Pinto, Donald J.; Wang, Shuaige; Qiao, Jennifer X.;
Han, Wei; Hu, Zilun

PA USA

SO U.S. Pat. Appl. Publ., 89 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

GI

| FAIN. | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|---------------------|------------------|--------------|------|------|----------|------------|----------|-----------------|-----------------|----------------|-----|-----|-----|----------|------|------|-----|-----|
| PI | | s 2004006062 | | | A1 | _ | 20040108 | | | | | | | | 2 | 0030 | 505 | |
| | WO 2004041776 A2 | | | | 20040521 | | | WO 2003-US14142 | | | | | | 20030505 | | | | |
| | WO | 2004 | 0417 | 76 | | A 3 | | 2004 | 0910 | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, |
| | | | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, |
| | | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | |
| | | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | ŪG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | | | | | | | | AT, | | | | | | | | | |
| | | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| | EP 1501798 | | | | | A2 | | 2005 | 0202 | EP 2003-808359 | | | | | | • | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | | | | | MK, | | | | | | | | | • |
| PRAI | US | 2002 | | | | | | 2002 | | • | • | • | · | • | , | , | | |
| | WO | 2003 | -US1 | 4142 | | W | | 2003 | 0505 | | | | | | | | | |
| OS MARPAT 140:93926 | | | | | | | | | | | | | | | | | | |

AB The title compds. I [G = Ph, pyridyl, pyrrolyl, etc.; G1 = H, alkyl, acyl, (substituted) amino, etc.; A = (substituted) Ph, carbocyclic, heterocyclyl; B = lactam, heterocyclyl, etc.; n = 0-2] were prepared I can be used as inhibitors of trypsin-like serine proteases, specifically factor Xa. Thus, II is prepared from 1-[4-(3-amino-2-oxopiperidin-1-yl)-3- fluorophenyl]-piperidin-2-one (preparation given) and 6-chloronaphthalene-2- sulfonyl chloride. Pharmaceutical compds. containing I are described.

IT 641612-22-8P 641612-23-9P 641612-24-0P

641612-25-1P 641612-28-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonylaminovalerolactams as factor Xa inhibitors)

RN 641612-22-8 CAPLUS

CN

2-Naphthalenesulfonamide, 6-chloro-N-[2-oxo-1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-3-piperidinyl]-N-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

RN 641612-23-9 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[2-oxo-1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-3-piperidinyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 641612-24-0 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[2-oxo-1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-3-piperidinyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 641612-25-1 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[2-oxo-1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-3-piperidinyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 641612-28-4 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[(2-methyl-4-thiazolyl)methyl]-N-[2-oxo-1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

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L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2002:928243 CAPLUS Full-text

DN 138:14012

TI Monocyclic or bicyclic carbocycles and heterocycles as factor Xa inhibitors

IN Jacobson, Irina C.; Wexler, Ruth R.; Nakajima, Suanne; Quan, Mimi L.;
Wang, Shuaige; Smallheer, Joanne M.; Qiao, Jennifer

PA Bristol-Myers Squibb Pharma. Co., USA

SO U.S. Pat. Appl. Publ., 114 pp. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 1

| FAN. | CNT | 1 | | | | | | | | | | | | | | | | | |
|------|------------|------|--------------|------|-----|------|-----|----------|------|-----|-----------------|------|------|-----|-----|-----|----------|-----|--|
| | PATENT NO. | | | | | DATE | | | | | ION I | | | | | | | | |
| ΡI | US | 2002 | 18332 | 24 | | | | 2002 | 1205 | | | | | | | | 0011 | | |
| | | 6710 | | | | | | | | | | | | | | _ | | | |
| | CA | 2429 | 113 | | | AA | | 2002 | 1227 | (| CA 2 | 001- | 2429 | 113 | | 2 | 0011 | 030 | |
| | WO | 2002 | 1023 | 80 | | A1 | | 20021227 | | | WO 2001-US51621 | | | | | | 20011030 | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PH, | PL, | |
| | | | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | |
| | | | UZ, | VN, | YU, | ZA, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | |
| | | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | |
| | | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | G₩, | ML, | MR, | ΝE, | SN, | TD, | TG | | |
| | ΕP | 1337 | 251 | | | A1 | | 2003 | 0827 | | EP 2 | 001- | 2741 | 10 | | 20 | 0011 | 030 | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | |
| | JΡ | 2004 | 5360 | 84 | | Т2 | | 2004 | 1202 | , | JP 2 | 003- | 5049 | 67 | | 20 | 0011 | 030 | |
| | | 2004 | | | | | | | 0708 | 1 | JS 2 | 003- | 7301 | 70 | | 20 | 00312 | 208 | |
| PRAI | | | | | | | | | | | | | | | | | | | |
| | | 2001 | | | | | | | | | | | | | | | | | |
| | | 2001 | | | | | | | | | | | | | | | | • | |
| | WO | 2001 | - US5 | 1621 | | W | | 2001 | 1030 | | | | | | | | | | |
| os | MAI | RPAT | 138: | 1401 | 2 | | | | | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | | |

AB Monocyclic or bicyclic carbocycles and heterocycles and their pharmaceutically acceptable salts are useful as inhibitors of factor Xa in the treatment of thromboembolic diseases. Thus, 1-(4-bromo-2- fluorophenyl)-3-hydroxy-2-piperidinone was treated with 3-NCC6H4OH and the resulting piperidinyloxybenzonitrile was coupled with 2-MeSC6H4B(OH)2 to give the

Ι

biphenyl I. Numerous compds. of the invention possessed Ki values of $\leq 10~\mu\text{M}$ in assays with human factor Xa.

IT 477738-34-4P 477738-43-5P 477738-46-8P

477738-62-8P 477738-72-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biphenylylpiperidinones as factor Xa inhibitors)

RN 477738-34-4 CAPLUS

CN Benzonitrile, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-43-5 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)'

RN 477738-62-8 CAPLUS

CN Benzoic acid, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy-, methyl ester (9CI) (CA INDEX NAME)

RN 477738-72-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

IT 477738-35-5P 477738-36-6P 477738-37-7P 477738-38-8P 477738-39-9P 477738-40-2P 477738-42-4P 477738-44-6P 477738-45-7P 477738-47-9P 477738-48-0P 477738-49-1P 477738-50-4P 477738-53-7P 477738-54-8P 477738-56-0P 477738-58-2P 477738-59-3P 477738-60-6P 477738-61-7P 477738-63-9P 477738-64-0P 477738-65-1P 477738-66-2P 477738-67-3P 477738-68-4P 477738-69-5P 477738-70-8P 477738-71-9P 477738-73-1P 477738-74-2P 477738-75-3P 477738-77-5P 477739-03-0P 477739-04-1P 477739-05-2P 477739-07-4P 477739-08-5P 477739-09-6P 477739-12-1P 477739-13-2P 477739-27-8P 477739-34-7P 477740-12-8P 477740-13-9P 477740-14-0P 477740-15-1P 477740-16-2P 477740-17-3P 477740-18-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylylpiperidinones as factor Xa inhibitors) 477738-35-5 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN

RN 477738-36-6 CAPLUS

CN Benzenecarboximidamide, 4-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-37-7 CAPLUS

CN Benzonitrile, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-38-8 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-39-9 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-40-2 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477738-42-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-44-6 CAPLUS

CN Benzamide, 3,4-dichloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-45-7 CAPLUS

CN Benzamide, 4-fluoro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

CN Benzamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 477738-48-0 CAPLUS

CN Benzamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 477738-49-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-50-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-53-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-

RN 477738-54-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-chloro-, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl ester (9CI) (CA INDEX NAME)

RN 477738-56-0 CAPLUS

CN Benzoic acid, 4-methoxy-, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl ester (9CI) (CA INDEX NAME)

RN 477738-58-2 CAPLUS

CN Benzaldehyde, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy- (9CI) (CA INDEX NAME)

CN 2-Piperidinone, 3-[(5-chloro-2-pyridinyl)amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-60-6 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(4-methoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 477738-61-7 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 477738-63-9 CAPLUS

CN 2-Piperidinone, 3-[3-(aminomethyl)phenoxy]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-64-0 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-[4-

RN 477738-65-1 CAPLUS

CN Benzamide, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 477738-66-2 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 477738-67-3 CAPLUS

CN Benzamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477738-68-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-69-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-70-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-71-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-73-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-74-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-amino-N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-75-3 CAPLUS

CN 2-Piperidinone, 3-[[(4-chlorophenyl)methyl]amino]-1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-77-5 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477739-03-0 CAPLUS

CN 2-Piperidinone, 3-[(3-amino-1,2-benzisoxazol-5-yl)amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-04-1 CAPLUS

CN Benzenecarboximidamide, 2-fluoro-5-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

RN 477739-05-2 CAPLUS

CN 2-Piperidinone, 3-[[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)phenyl]amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-(9CI) (CA INDEX NAME)

RN 477739-07-4 CAPLUS

CN 2-Piperidinone, 3-[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)phenoxy]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-08-5 CAPLUS

CN Benzamide, 3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-09-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-12-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)],1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-13-2 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-27-8 CAPLUS

CN Benzamide, 4-chloro-N-[1-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-34-7 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-12-8 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-13-9 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477740-14-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[(diethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-15-1 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-(1-pyrrolidinylmethyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-16-2 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[(3-hydroxy-1-pyrrolidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-17-3 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[(4-hydroxy-1-piperidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-18-4 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[[(2-hydroxyethyl)methylamino]methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT 477739-47-2P 477739-57-4P 477739-58-5P 477739-63-2P 477739-64-3P 477739-65-4P

477740-00-4P 477740-01-5P 477740-21-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biphenylylpiperidinones as factor Xa inhibitors)

RN 477739-47-2 CAPLUS

CN Benzonitrile, 3-[[1-(4-bromo-2-fluorophenyl)-2-oxo-3-piperidinyl]oxy]-(9CI) (CA INDEX NAME)

RN 477739-57-4 CAPLUS

CN Benzenesulfonamide, N-[1-(4-bromophenyl)-2-oxo-3-piperidinyl]-3-cyano-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-58-5 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[3-[[(3-cyanophenyl)sulfonyl](phenylmeth yl)amino]-2-oxo-1-piperidinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX

RN 477739-63-2 CAPLUS

CN Benzonitrile, 2-fluoro-5-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477739-64-3 CAPLUS

CN Carbamic acid, (3-amino-1,2-benzisoxazol-5-yl)[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477739-65-4 CAPLUS

CN Benzonitrile, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477740-00-4 CAPLUS

CN Benzamide, N-[1-(4-bromophenyl)-2-oxo-3-(phenylmethyl)-3-piperidinyl]-4-chloro- (9CI) (CA INDEX NAME)

RN 477740-01-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477740-21-9 CAPLUS

CN Carbamic acid, (3-cyano-4-fluorophenyl)[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2002:754373 CAPLUS Full-text

DN 137:279463

TI Preparation of malonyl amino acid derivatives as inhibitors of the ICE/ced-3 family of cysteine proteases

IN Roggo, Silvio; Hintermann, Samuel; Rasetti, Vittorio; Von Krosigk, Ulrike

PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.

SO PCT Int. Appl., 57 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT | NO. | | | KIN | D | DATE | | 1 | APPL | ICAT | ION 1 | NO. | | D | ATE | |
|----|---------|-------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-----|
| | | | | | | _ | | | , | | | | | | _ | | |
| PI | WO 2002 | 20769 | 68 | | A1 | | 2002 | 1003 | 1 | WO 2 | 002- | EP31 | 94 | | 2 | 0020 | 321 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | ΕĖ, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LT, | LU, |
| | | LV, | MA, | MD, | MK, | MN, | MX, | NO, | NZ, | OM, | PH, | PL, | PT, | RO, | RU, | SE, | SG, |
| | | SI, | SK, | TJ, | TM, | TN, | TR, | TT, | UA, | US, | UZ, | VN, | YU, | ZA, | ZW, | AM, | ΑZ, |
| | | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM | | | | | | | | | |
| | RW: | AT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, |
| | | PT, | SE, | TR | | | | | | | | | | | | | |

PRAI GB 2001-7365

A 20010323

OS MARPAT 137:279463

Malonyl amino acid derivs. XYNCOCH(V)N(U)COC(T)(S)CONHCH(CH2CO2R)CO-Q[Q = H, COCMENTAL CONTROL CONTRAB fluoromethyl, diphenylphosphinyloxymethyl, halophenyl(carbonyl)oxy(or thio)methyl; R = H, alkyl; S = alkyl, Ph, phenylalkyl; T = H or C(T)(S) = cycloalkyl; U = H, carboxyalkyl, alkyl; V = H, carboxyalkyl and other substituted alkyl, (un) substituted Ph, pyridyl; X = H, alkyl or X with Y forms a -COCH2CH2- bridge or morpholino; Y = alkyl, phenylalkyl, alkoxyalkyl, diphenylmethyl, alkylphenyl, alkoxyphenyl, morpholino, morpholinoalkyl, adamantyl, (un)substituted phenyl] or their salts were prepared as inhibitors of the ICE/ced-3 family of cysteine proteases. Thus, 3-[2-[1-(benzhydrylcarbamoyl)-3-carboxypropylcarbamoyl]-4- methylpentanoylamino]-4oxobutyric acid was prepared via coupling of 2-(1-tert-butoxycarbonylmethyl-2oxoethylcarbamoyl)-4-methylpentanoic acid semicarbazone (1) with 4-amino-4-(benzhydrylcarbamoyl)butyric acid tert-Bu ester. Compound 1 was obtained from aspartic acid semicarbazone tert-Bu ester p-toluenesulfonate salt and 2isobutylmalonic acid monoethyl ester.

IT 464183-19-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of malonyl amino acid derivs. as inhibitors of the ICE/ced-3 family of cysteine proteases)

RN 464183-19-5 CAPLUS

CN Pentanoic acid, 3-[[[1-[[[2,6-dioxo-1-(3-phenoxyphenyl)-3-piperidinyl]amino]carbonyl]cyclobutyl]carbonyl]amino]-4-oxo-5-(2,3,5,6-tetrafluorophenoxy)- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1999:549264 CAPLUS Full-text

DN 131:184944

TI Preparation of phenyl and aryl-fused thiazole derivatives as antiviral agents for suppression and treatment of herpes family viral infections and sexually-transmitted viral diseases

IN Flygare, John A.; Jaen, Juan C.; Kearney, Patrick C.; Medina, Julio C.;
Sivaraja, Mohanram

PA Tularik Inc., USA

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | | |
|---------------|-----|------|------|------|-----------|-----|-----|----------------|-----------------|-----|------|------|----------|-----|------|-----|------|-----|----|
| PI WO 9942455 | | | A1 | | 19990826 | | , | WO 1999-US2947 | | | | | 19990210 | | | | | | |
| | | W: | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, | |
| | | | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | |
| | | | KE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | |
| | | | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | |
| | | | TR, | TT, | UA, | UG, | UZ, | VN, | YU, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM |
| | | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | DE, | DK, | ES, | |
| | | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | BJ, | CF, | CG, | CI, | |
| | | | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | |
| | AU | 9932 | 892 | | | A1 | | 1999 | 0906 | | AU 1 | 999- | 3289 | 2 | | 1 | 9990 | 210 | |
| PRAI | US | 1998 | -752 | 24P | | P | | 1998 | 0219 | | | | | | | | | | |
| | WO | 1999 | -US2 | 947 | | W | | 1999 | 0210 | | | | | | | | | | |
| OS GI | MAF | RPAT | 131: | 1849 | 44 | | | | | | | | | | | | | | |

$$R4$$
 $R3$
 $R2$
 $R5$
 $R5$
 $R5$

Ι

Ph and aryl-fused thiazole derivs. (I) [where X = S, O, NH, or N-lower alkyl; Y = (un) substituted CH or N; or XY = triat. divalent unit of CH, C-alkyl, and N (3 subunits may not all be N); Rl = H, lower alkyl, or taken together with Y forms a 5- or 6-membered ring; R2, R3, and R4 = independently H, (hetero) alkyl, (hetero) arylalkyl, halogen, CN, NO2, (aryl) alkoxy, (un) substituted sulfamoyl, (un) substituted amino, OH, etc.; R5 = H, lower (aryl) alkyl, aryl, (un) substituted amino; with provisos] were prepared as antiviral agents useful in the suppression and treatment of sexually-transmitted viral diseases and herpes family viral infections, especially HSV1, HSV2, Epstein Barr virus, and varicella zoster virus. Thus, 2-iodophenacyl bromide was added to thiourea in dioxane and stirred at room temperature for eight hours to yield 2-amino-4-(2-iodophenyl)thiazole (II). Nine compds. of the invention were tested for antiviral activity using an HSV-1 gel primase assay and exhibited IC50 values ranging from 5 μM to 100 μM.

II

IT 240136-75-8P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph and aryl-fused thiazole derivs. as antiviral agents for herpes family viral infections and sexually-transmitted viral diseases) 240136-75-8 CAPLUS

CN 2-Piperidinone, 1-[4-(2-amino-4-thiazolyl)phenyl]-3-[bis(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ \end{array}$$

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:296019 CAPLUS Full-text

DN 130:312007

TI A concise synthesis of unnatural (+)-5-epi-nojirimycin- δ -lactam via asymmetric reduction of a meso-imide

AU Kang, Jahyo; Lee, Choon Woo; Lim, Geun Jho; Cho, Byung Tae

CS Department of Chemistry, Sogang University, Seoul, 121-742, S. Korea

SO Tetrahedron: Asymmetry (1999), 10(4), 657-660 CODEN: TASYE3; ISSN: 0957-4166

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 130:312007

AB Nojirimycin- δ -lactam skeleton was synthesized by asym. reduction of a cyclic triacetyloxy meso imide with a chiral β -amino thiol ligand. The resulting product was converted to unnatural (+)-5-epi-nojirimycin- δ -lactam.

IT 223608-78-4

RL: RCT (Reactant); RACT (Reactant or reagent) (a concise synthesis of unnatural (+)-epi-nojirimycin- δ -lactam via asym. reduction of a meso-imide)

RN 223608-78-4 CAPLUS

CN 2,6-Piperidinedione, 1-(4-methoxyphenyl)-3,4,5-tris(phenylmethoxy)-, $(3\alpha,4\beta,5\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 223608-80-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (a concise synthesis of unnatural (+)-epi-nojirimycin- δ -lactam via asym. reduction of a meso-imide)

RN 223608-80-8 CAPLUS

CN 2-Piperidinone, 6-hydroxy-1-(4-methoxyphenyl)-3,4,5-tris(phenylmethoxy)-, (3S,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:408893 CAPLUS Full-text

DN 121:8893

TI Phenyl-substituted acrylate ester agrochemical fungicides

IN Mueller, Bernd; Roehl, Franz; Koenig, Hartmann; Sauter, Hubert; Lorenz,
 Gisela; Ammermann, Eberhard

PA BASF A.-G., Germany

SO Eur. Pat. Appl., 86 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

| E-174. | NI I | | | | | | |
|--------|-----------------|--------|-----------|-------------------------|----------|--|--|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
| | | | | | | | |
| PI | EP 581095 | A2 | 19940202 | EP 1993-111103 | 19930712 | | |
| | R: AT, BE, CH, | DE, DK | , ES, FR, | GB, GR, IE, IT, LI, NL, | PT, SE | | |
| | CA 2100546 | AA | 19940125 | CA 1993-2100546 | 19930714 | | |
| | JP 06211748 | A2 | 19940802 | JP 1993-181305 | 19930722 | | |
| | AU 9342121 | A1 | 19940127 | AU 1993-42121 | 19930723 | | |
| | AU 660226 | B2 | 19950615 | | | | |
| | ни 66105 | A2 | 19940928 | HU 1993-2150 | 19930723 | | |
| | ZA 9305332 | Α | 19950123 | ZA 1993-5332 | 19930723 | | |
| PRAI | DE 1992-4224457 | Α | 19920724 | | | | |
| os | MARPAT 121:8893 | | | | | | |
| GI | | | | | | | |

AB The title compds. [I; B = (un)substituted alkyl, C1-4 (un)substituted alkenyl, (un)substituted alkynyl, etc.; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; X, Y = H, halogen, CN, NO2, haloalkyl, alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, etc.], useful as agrochem. fungicides, are prepared and I-containing formulations presented. Thus, Me α -(2-hydroxyphenyl)- β -methoxyacrylate was condensed with phenacyl bromide, producing acrylate II, m.p. 76°, which demonstrated 90% inhibitory activity against Plasmopara viticola at 250 ppm.

IT 154594-86-2P 154595-15-0P 154595-16-1P 154595-17-2P 154595-18-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide)

RN 154594-86-2 CAPLUS

CN Benzeneacetic acid, α -(methoxymethylene)-2-[(2-oxo-1-phenyl-3-piperidinyl)oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 154595-15-0 CAPLUS

CN Benzeneacetic acid, α -(methoxymethylene)-2-[[1-(2-methylphenyl)-2-oxo-3-piperidinyl]oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 154595-16-1 CAPLUS

CN Benzeneacetic acid, α -(methoxymethylene)-2-[[1-(3-methylphenyl)-2-oxo-3-piperidinyl]oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 154595-17-2 CAPLUS

CN Benzeneacetic acid, α -(methoxymethylene)-2-[[1-(4-methylphenyl)-2-oxo-3-piperidinyl]oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 154595-18-3 CAPLUS

CN Benzeneacetic acid, $2-[\{1-(4-\text{chlorophenyl})-2-\text{oxo}-3-\text{piperidinyl}] \circ xy]-\alpha-(\text{methoxymethylene})-, methyl ester, (E)- (9CI) (CA INDEX NAME)$

Double bond geometry as shown.

L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1975:479038 CAPLUS Full-text

DN 83:79038

TI 1-Phenylpiperidine-2,4,6-trione

AU Mee, John D.

CS Res. Lab., Eastman Kodak Co., Rochester, NY, USA

SO Journal of Organic Chemistry (1975), 40(14), 2135-6 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 83:79038

GI For diagram(s), see printed CA Issue.

AB Previous reports of the synthesis of the title compound I were in error; the synthesis of I by hydrolysis of 1-phenyl-4-anilinoglutaconimide (II), obtained by reaction of (EtO2CCH2)2CO with PhNH2, is described.

IT 55267-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 55267-60-2 CAPLUS

CN 3-Piperidinecarboxylic acid, 2,4,6-trioxo-1-phenyl-5-[(phenylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1964:30878 CAPLUS Full-text

DN 60:30878

OREF 60:5474a-e

TI Reaction of 2-phenyl-4-hexafluoroisopropylidene-5-oxazolone with ketene

AU Rokhlin, E. M.; Gambaryan, N. P.; Knunyants, I. L.

CS Inst. Heteroorg. Compds., Moscow

SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1963), (11), 1952-8 CODEN: IASKA6; ISSN: 0002-3353

DT Journal

LA Unavailable

OS CASREACT 60:30878

 α -Benzamido- β , β -bis(trifluoromethyl)acrylic acid treated with CH2:CO in Et20 AB in the presence of pyridine (other catalysts failed to give the following product) at -70° , finally 20 min. at -10° , gave 66% β , β - bis(trifluoromethyl) $-\beta$ - (2- phenyl- 5- hydroxy- 4- oxazolyl)propiolactone (I), m. 142.5-3.5°, which failed to yield any ionic F in 3% KOH at room temperature Reaction run in the presence of NaOAc or BF3. Et2O gave tars, while in the presence of ZnCl2.AlCl3 43% 2-phenyl-4-hexafluoroisopropylidene-5-oxazolone (II) formed, which was also isolated in a low yield from a reaction run in the presence of K2CO3; in the presence of Et3N, an unidentified product, m. 142.5-46° was isolated. The following failed to react with CH2:CO in the presence of pyridine: II, Me α -benzamido- β , β - bis(trifluoromethyl)acrylate, Me β , β bis (trifluoromethyl) acrylate, Me β , β -bis (trifluoromethyl) vinyl ketone, and 2phenyl-4-carbethoxy-5-trifluoromethyl-1,3-oxazin-6-one. II kept 50 hrs. at room temperature in aqueous dioxane gave 93% N-benzovl-β,βbis(trifluoromethyl)-dl-glutamic acid (III), m. 164-5°, also formed by treatment of I with aqueous Me2CO, aqueous NaHCO3, or concentrated H2SO4 at room temperature I kept 17 days in MeOH gave 31% di-Me N-benzoyl- β , β bis(trifluoromethyl)-dl-glutamate, m. 77.5-79°, also formed from the free acid and CH2N2. I and PhNH2 in refluxing C6H6 15 hrs. gave N-benzoyl- β , β bis(trifluoromethyl)-dl-qlutamic acid N'-phenylimide, m. 217-18°, unchanged by concentrated H2SO4 at room temperature or by boiling aqueous HCl; it failed to react with CH2:CO in dioxane. I and PhNHNH2 1.5 hrs. in C6H6 gave 74% III N'phenylhydrazide, m. 206-6.5 β . III and CH2:CO in Et2O at room temperature gave 72% III anhydride, m. 190-3°, which dissolved slowly in aqueous NaHCO3, and did not react with CH2N2 or CH2:CO. The filtrate from the anhydride gave 4% same product along with 9% more soluble I. III anhydride refluxed with PhNH2 in dioxane 1.5 hrs. gave PhNHCOCH2C(CF3)2CH(CO2H)NHBz or HO2CCH2C(CF3)2 CH(NHBz)CONHPh, m. 190-90.5° (decomposition).

IT 1960-28-7, Glutarimide, 2-benzamido-N-phenyl-3,3bis(trifluoromethyl)-

(preparation of)

RN 1960-28-7 CAPLUS

L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:462101 CAPLUS Full-text

DN 59:62101

OREF 59:11415a-d

TI The synthesis of 2,4,6-piperidinetrione derivatives

AU Schulte, K. E.; Mang, R.

CS Univ. Muenster, Germany

SO Arch. Pharm. (1963), 296, 501-9

DT Journal

LA Unavailable

OS CASREACT 59:62101

GI For diagram(s), see printed CA Issue.

To a solution of 0.2 g.-atom Na in 250 cc. EtOH was added with stirring 0.1 mole malonic ester and 0.125 mole of a malonic acid diamide. The mixture heated 8-10 hrs. at 115° in a pressure bottle and cooled, the solvent removed by distillation to one-half its original volume, and the residue dissolved in H2O and acidified with concentrated HCl gave the following 2,4,6-trioxopiperidine-3-carboxamides (I) (R, R1, R2, % yield, m.p., and recrystn. solvent given): H, H, H, 48, 254-6° (decomposition), --; Me, Me, H, 69, 173-4°, EtOH; Et, Et, H, 60, 91-2°, EtOH-H2O; Pr, Pr, H, 53, 88°, ligroine; Ph, Ph, H, 62, 237°, acetone-H2O; H, Me, H, 55, 244°, EtOH-H2O. Other I prepared using different reagent concns. and exptl. procedures were as follows (same data given): H, H, Et, 23, 176°, EtOH-H2O; H, H, HC.tplbond.CCH2, 33, 214°, EtOH; H, Me, Me, 52, 208°, --; Me, H, Me, 28, 133°, ligroine; Me, Me, HC.tplbond.CCH2, 60.5, 81°, EtOH; Me, Me, HC.tplbond.CCH2, 62, 111°, EtOH; Me, Me, Pr, 86, 88°, --; Ph, Ph, HC.tplbond.CCH2, 54, 135°, EtOH; Ph, Ph, HC.tplbond.CCH2, 45, 133°, EtOH; Ph, Ph, Pr, 85, 129°, EtOH.

IT 94331-29-0. Nipecotanilide, 2.4.6-trioxo-1-phenyl-

IT 94331-29-0, Nipecotanilide, 2,4,6-trioxo-1-phenyl95621-26-4, Nipecotanilide, 2,4,6-trioxo-1-phenyl-5,5-dipropyl-

96669-07-7, Nipecotanilide, 5,5-diallyl-2,4,6-trioxo-1-phenyl-**97085-50-2**, Nipecotanilide, 2,4,6-trioxo-1-phenyl-5,5-di-2-

propynyl-

(preparation of)

RN 94331-29-0 CAPLUS

CN Nipecotanilide, 2,4,6-trioxo-1-phenyl- (7CI) (CA INDEX NAME)

RN 95621-26-4 CAPLUS

CN Nipecotanilide, 2,4,6-trioxo-1-phenyl-5,5-dipropyl- (7CI) (CA INDEX NAME)

RN 96669-07-7 CAPLUS

CN Nipecotanilide, 5,5-diallyl-2,4,6-trioxo-1-phenyl- (7CI) (CA INDEX NAME)

RN 97085-50-2 CAPLUS

CN Nipecotanilide, 2,4,6-trioxo-1-phenyl-5,5-di-2-propynyl- (7CI) (CA INDEX NAME)

=> d l1; d his; log y L1 HAS NO ANSWERS L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

(FILE 'STNGUIDE' ENTERED AT 18:37:02 ON 13 APR 2005)
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 18:43:18 ON 13 APR 2005

L1 STRUCTURE UPLOADED

L2 QUE L1
L3 0 S L2
L4 92 S L2 FUL

FILE 'CAPLUS' ENTERED AT 18:43:55 ON 13 APR 2005

L5 11 S L4

| SINCE FILE | TOTAL |
|------------|---------------------------------------|
| ENTRY | SESSION |
| 55.24 | 219.55 |
| | |
| SINCE FILE | TOTAL |
| ENTRY | SESSION |
| -8.03 | -8.03 |
| | ENTRY 55.24 SINCE FILE ENTRY |

STN INTERNATIONAL LOGOFF AT 18:45:00 ON 13 APR 2005

```
13 14 15 16 17 18 23 25 26 27 28
ring nodes :
   1 2 3 4 5 6 7 8 9 10
                              11 12
chain bonds :
   1-13 2-14 6-7 14-23 15-16 17-18 25-26 27-28
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
   1-2 1-6 1-13 2-3 2-14 3-4 4-5 5-6 6-7 14-23 15-16 17-18 25-26 27-28
normalized bonds :
   7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
   containing 1 : 7 :
G1:O,N,[*1-*2],[*3-*4],[*5-*6],[*7-*8]
Match level :
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 23:Atom 25:CLASS

chain nodes :

26:CLASS 27:CLASS 28:CLASS